

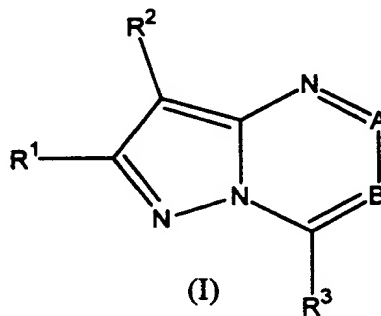
DOCKET NO.: PH-7094-A (BMS-0875)
Application No.: 09/990,138
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PATENT

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

1. (currently amended) A compound of formula I:



or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

C1 A is CR⁵;

B is N;

R¹ is independently selected from the group consisting of

H,

halogen,

CN,

C₁₋₆ alkyl,

C₂₋₁₀ alkenyl,

C₂₋₁₀ alkynyl,

C₃₋₆ cycloalkyl,

C₁₋₆ alkyloxy,

C₁₋₆ alkylS(O)_n,

-NR^{1a}R^{1b} wherein R^{1a} and R^{1b} are independently selected from H, C₁₋₄ alkyl, C₃₋₈ cycloalkyl,

-C(O)C₁₋₄alkyl,

C_{1-6} alkylNR^{1a}R^{1b},
NR^{1a}COR^{1b},
-C(O)NR^{1a}R^{1b},
-O-C(O)C₁₋₄alkyl,

-XR^{1c} wherein R^{1c} is selected from H or -C₁₋₄ alkylaryl;

X is selected from O or S(O)_n,

wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄ haloalkyl, C₁₋₄ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl or C₁₋₄ alkylsulfonyl;

R² is selected from the group consisting of H, OR⁷, SH, NR⁶R⁷, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷, CO₂R⁷, CHR⁶(OR⁷)R^{6a}, OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂, NR⁸CONR⁶R⁷, NR⁶CO₂R⁷; or

C₁₋₁₀ alkyl,
C₂₋₁₀ alkenyl,
C₂₋₁₀ alkynyl,
C₃₋₈ cycloalkyl,
C₃₋₆ cycloalkyl C₁₋₆ alkyl,
C₁₋₁₀ alkyloxy,
C₁₋₁₀ alkyloxyC₁₋₁₀ alkyl,
-SO₂-C₁₋₁₀alkyl
-SO₂R^{2a} wherein R^{2a} is aryl,
-SO₂R^{2b} wherein R^{2b} is heteroaryl,
-NR^{2c}R^{2d} wherein R^{2c} and R^{2d} are independently selected from H, C₁₋₈ alkyl, S(O)_nC₁₋₄alkyl, C(O)NR^{2c}R^{2d}, CO₂C₁₋₄alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, or -C(O)C₁₋₄alkyl or R^{2c} and R^{2d} may join to form a heterocyclic ring having 0-3 heteroatoms selected from O, N or S,

- halogen,

-CN,

-C(O)-L wherein L is selected from H, $\text{NR}^{2c}\text{R}^{2d}$, C_{1-6} alkyl or

OC_{1-4} alkyl, $\text{O}(\text{CH}_2)_m\text{OR}$ wherein R is C_{1-3} alkyl,

$\text{O}(\text{CH}_2)_m\text{-NR}^{2c}\text{R}^{2d}$, OH, $\text{C}(\text{O})\text{OC}_{1-6}$ alkyl or aryl or heteroaryl wherein m is 1-4;

-OC(O)-M wherein M is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{2-8} alkoxyalkyl,

C_{3-6} cycloalkyl, C_{4-12} cycloalkylalkyl, aryl, C_{1-6} alkylaryl, heteroaryl,

C_{1-6} alkylheteroaryl;

C n is 0, 1 or 2; and wherein

R^2 is substituted with 0-3 substituents independently selected from R' , R'' , R''' wherein R' ,

R'' and R''' are independently selected from C_{1-6} alkyl, C_{3-7} cycloalkyl, hydroxy C_{1-6} alkyl, C_{1-6} alkyloxy C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkyloxy, hydroxy, or

R^2 is substituted with 0-3 substituents independently selected from:

halogen,

-CN,

-S(O) $_n\text{R}^{2e}$ wherein R^{2e} is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, C_{3-6} cycloalkyl;

-COR 2f wherein R^{2f} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl C_{1-4} alkyl;

-CO $_2\text{R}^{2f}$,

-NR $^{2g}\text{COR}^{2f}$ wherein R^{2g} is selected from H, C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl;

-N(COR 2f) $_2$,

$-\text{NR}^{2g}\text{CONR}^{2f}\text{R}^{2h}$, wherein R^{2h} is selected from H, C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{3-6} cycloalkyl and C_{3-6} cycloalkyl C_{1-6} alkyl;

$-\text{NR}^{2g}\text{CO}_2\text{R}^{2e}$,

$-\text{CONR}^{2g}\text{R}^{2h}$,

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

and

C_{3-8} cycloalkyl wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from $-\text{O}-$, $-\text{S}(\text{O})_n-$, $-\text{NR}^{2g}-$, $-\text{NCO}_2\text{R}^{2e}$, $-\text{NCOR}^{2e}$, and $-\text{NSO}_2\text{R}^{2e}$; and wherein N^4 in 1-piperazinyl is substituted with 0-1 substituents selected from R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ; or

the group R^{2i} , R^{2j} , R^{2k} , C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-\text{OR}^{2g}$, $-\text{NR}^{2g}\text{R}^{2h}$, $-\text{C}_{1-6}$ alkyl- OR^{2g} , and C_{3-8} cycloalkyl which is substituted with 0-1 R^{2i} and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by $-\text{O}-$, wherein R^{2i} is selected from aryl wherein aryl is selected from phenyl, naphthyl, indanyl and indenyl, each R^{2i} being substituted with 0-1 OR^{2m} and 0-5 substituents independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-\text{CN}$, nitro, $-\text{SH}$, $-\text{S}(\text{O})_n\text{R}^{2n}$, $-\text{COR}^{2m}$, $-\text{OC}(\text{O})\text{R}^{2n}$, $-\text{NR}^{2g}\text{COR}^{2m}$, $-\text{N}(\text{COR}^{2m})_2$, $-\text{NR}^{2g}\text{CONR}^{20}\text{R}^{2p}$, $-\text{NR}^{2g}\text{CO}_2\text{R}^{2n}$, $-\text{NR}^{20}\text{R}^{2p}$ and $-\text{CONR}^{20}\text{R}^{2p}$;

R^{2j} is selected from heteroaryl wherein heteroaryl is selected from pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-\text{CN}$,

nitro, OR^{2m} , $-SH$, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-CN$, nitro, $-OR^{2m}$, $-SH$, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2f} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

wherein

R^{2l} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl or C_{3-8} cycloalkyl;

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2a}S(O)_n-C_{1-4}$ alkyl or $R^{2r}R^{2s}N-C_{2-4}$ alkyl;

R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, or C_{1-4} haloalkyl;

R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

$R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl wherein N^4 in 1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

R^3 is an aryl or heteroaryl group attached through an unsaturated carbon atom;

C1 aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl, $-CN$, $-NO_2$, $-SH$, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $CONR^{2o}R^{2p}$;

heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted at 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, F, I, C_{1-4} haloalkyl, $-CN$, $NR^{2g}R^{2h}$, nitro, $-OR^{2m}$, $-SH$, $-S(O)_nR^{2n}$, COR^{2m} , $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$ and each heteroaryl being substituted at any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{3a} , COR^{3a} and SO_2R^{3a} wherein,

R^{3a} is selected from the group C_{1-6} alkyl, C_{1-4} cycloalkyl- C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4}

alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

C¹
R⁴ and R⁵ are independently selected at each occurrence from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ and R⁵ non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and C₁₋₆ haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3 or R⁴ and R⁵ may join together to form a C₃₋₆ alkylene chain;

R⁶, R^{6a} and R⁷ are independently selected from: H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀ haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, and C₆₋₁₄ cycloalkenylalkyl;

R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy and C₁₋₄ haloalkyl;

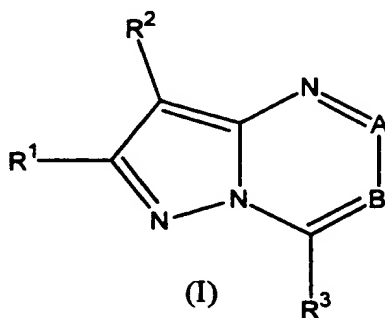
with the that the compounds of Formula I with R¹, R², R³, R⁴ and R⁵ as specifically defined below are excluded:

- (a) a compound of formula I wherein R⁵ is ~~o-hydroxyphenyl~~ hydroxyphenyl, R³ is ~~o-hydroxyphenyl~~ hydroxyphenyl, R¹ is SMe and R² is CN ;
- (b) a compound of formula I wherein R⁵ is CH₃, R¹ is Ph, R² is Br and R³ is Ph;

- (e) a compound of formula I wherein R⁵ is ethyl, R¹ is Me, R² is H and R³ is N-methyl-piperazin-N-yl;
- (f) a compound of formula I wherein R⁵ is ~~p-Cl-Ph~~ Cl-Ph, R¹ is H, R² is H and R³ is ~~p-CF₃-Ph~~ CF₃-Ph;
- (g) a compound of formula I wherein R⁵ is p-Cl-Ph, R¹ is CH₃, R² is H, R³ is ~~p-CF₃-Ph~~ CF₃-Ph;
- (h) a compound of formula I wherein R⁵ is Ph, R¹ is Me, R² is H, R³ is ~~p-CF₃-Ph~~ CF₃-Ph;
- C¹ (i) a compound of formula I wherein R⁵ is Ph, R¹ is H, R² is H, R³ is ~~p-CF₃-Ph~~ CF₃-Ph;
- (j) a compound of formula I wherein R³ is Ph and R² is H, Br, CN, CO₂Et or Cl ;
- (k) a compound of formula I wherein R⁵ is CH₃, C₂H₅ or Ph, R¹ is H, R² is H and R³ is Ph.

2. (currently amended)

A compound of formula I:



or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

A is CR⁵;

B is N

R¹ is independently selected from the group consisting of

H,

halogen,

CN,

C₁₋₆ alkyl,

C₂₋₁₀ alkenyl,

C₂₋₁₀ alkynyl,

C₃₋₆ cycloalkyl,

C₁₋₆ alkyloxy,

C₁₋₆ alkylS(O)_n,

-NR^{1a}R^{1b} wherein R^{1a} and R^{1b} are independently selected from

H, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, -C(O)C₁₋₄alkyl,

C₁₋₆ alkylNR^{1a}R^{1b},

NR^{1a}COR^{1b},

-C(O)NR^{1a}R^{1b},

-O-C(O)C₁₋₄alkyl,

-XR^{1c} wherein R^{1c} is selected from H or -C₁₋₄ alkylaryl;

X is selected from O or S(O)_n,

wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄ haloalkyl, C₁₋₄alkylamino, C₂₋₈dialkylamino, C₁₋₄alkyloxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl or C₁₋₄ alkylsulfonyl;

R^2 is selected from the group consisting of OR^7 , SH , NR^6R^7 , $C(OH)R^6R^{6a}$, $C(OR^7)R^6R^{6a}$, $S(O)_nR^{13}$, COR^7 , CO_2R^7 , $CHR^6(OR^7)R^{6a}$, $OC(O)R^{13}$, NO , NO_2 , $NR^6C(O)R^7$, $N(COR^7)_2$, $NR^8CONR^6R^7$ or $NR^6CO_2R^7$;

or R^2 is selected from:

C_{1-10} alkyl,

C_{2-10} alkenyl,

C_{2-10} alkynyl,

C_{3-8} cycloalkyl,

C_{3-6} cycloalkyl C_{1-6} alkyl,

C_{1-10} alkyloxy,

C_{1-10} alkyloxy C_{1-10} alkyl,

$-SO_2-C_{1-10}$ alkyl

$-SO_2R^{2a}$ wherein R^{2a} is aryl,

$-SO_2R^{2b}$ wherein R^{2b} is heteroaryl,

$-NR^{2c}R^{2d}$ wherein R^{2c} and R^{2d} are independently selected from H, C_{1-8} alkyl, $S(O)_nC_{1-4}$ alkyl,

$C(O)NR^{2c}R^{2d}$, CO_2C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy C_{1-6} alkyl,

~~$-C(O)C_{1-4}$ alkyl or R^{2c} and R^{2d} may join to form a heterocyclic ring having 0-3 heteroatoms selected from O, N or S,~~

$-C(O)-L$ wherein L is selected from H, $NR^{2c}R^{2d}$, and C_{1-6} alkyl $O(CH_2)_mOR$ wherein R is

C_{1-3} alkyl, $O(CH_2)_m-NR^{2c}R^{2d}$, OH , $C(O)OC_{1-6}$ alkyl, or aryl or heteroaryl wherein m is 1-4; or

$-OC(O)-M$ wherein M is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{2-8} alkoxyalkyl,

C_{3-6} cycloalkyl, C_{4-12} cycloalkylalkyl, aryl, C_{1-6} alkylaryl, heteroaryl, and C_{1-6} alkylheteroaryl;

n is 0, 1 or 2; and wherein

R^2 is substituted with 0-3 substituents independently selected from R' , R'' , R''' wherein R' , R'' and R''' are independently selected from C_{1-6} alkyl, C_{3-7} cycloalkyl, hydroxy C_{1-6} alkyl, C_{1-6} alkyloxy C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkyloxy, and hydroxy, or

R^2 is substituted with 0-3 substituents independently selected from:

halogen,

-CN,

-S(O) $_nR^{2e}$ wherein R^{2e} is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, and C_{3-6} cycloalkyl;

-COR 2f wherein R^{2f} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl C_{1-4} alkyl;

-CO $_2R^{2f}$,

-NR 2g COR 2f wherein R^{2g} is selected from H, C_{1-6} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl C_{1-6} alkyl;

-N(COR 2f) $_2$,

-NR 2g CONR $^{2f}R^{2h}$, wherein R^{2h} is selected from H, C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{3-6} cycloalkyl and C_{3-6} cycloalkyl C_{1-6} alkyl;

-NR 2g CO $_2R^{2e}$,

-CONR $^{2g}R^{2h}$,

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

and

C_{3-8} cycloalkyl wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from -O-, -S(O) $_n$ -, -NR 2g -, -NCO $_2R^{2e}$ -, -NCOR 2e -, and -NSO $_2R^{2e}$ -, and wherein N^4 in 1-piperazinyl is substituted with 0-1 substituents selected from R^{2g} , CO $_2R^{2e}$, COR 2e and SO $_2R^{2e}$ -, or

C

the group R^{2i} , R^{2j} , R^{2k} , C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{2g}$, $-NR^{2g}R^{2h}$, $-C_{1-6}$ alkyl- OR^{2g} , and C_{3-8} cycloalkyl which is substituted with 0-1 R^{2i} and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-, wherein

R^{2i} is selected from aryl wherein aryl is selected from phenyl, naphthyl, indanyl and indenyl, each R^{2i} being substituted with 0-1 OR^{2m} and 0-5 substituents independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -SH, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2n}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$;

C1 R^{2j} is selected from heteroaryl wherein heteroaryl is selected from pyridyl, pyrimidinyl, triazinyl, furanyl, quinoliny, isoquinoliny, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indoliny, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, OR^{2m} , -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{2m}$, -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2f} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

wherein

R^{2l} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl or C_{3-8} cycloalkyl;

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl,
 $R^{2q}S(0)_n-C_{1-4}$ alkyl or $R^{2r}R^{2s}N-C_{2-4}$ alkyl;

R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, or
 C_{1-4} haloalkyl;

C 1 R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10}
cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6}
cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and
benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected
from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4}
haloalkoxy, and dimethylamino;

$R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-
piperazinyl wherein N^4 in 1-piperiazinyl is substituted with 0-1 substituents selected
from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy - C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6}
cycloalkyl - C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

R^3 is selected from an aryl or heteroaryl group attached through an unsaturated carbon atom;

aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, methylenedioxy, C₁₋₄ alkyloxy-C₁₋₄ alkyloxy, -OR^{2m}, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, -NO₂, -SH, -S(O)_nR²ⁿ, -COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R^{2h}, -NR^{2o}R^{2p} and CONR^{2o}R^{2p};

CI heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted at 0-4 carbon atoms with a substituent independently selected at each occurrence from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, F, I, C₁₋₄ haloalkyl, -CN, NR^{2g}R^{2h}, nitro, -OR^{2m}, -SH, -S(O)_nR²ⁿ, COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, and -NR^{2g}CONR^{2o}R^{2p} and each heteroaryl being substituted at any nitrogen atom with 0-1 substituents selected from the group R^{2g}, CO₂R^{3a}, COR^{3a} and SO₂R^{3a} wherein,

R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆ alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

R⁴ and R⁵ are independently selected at each occurrence from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ and R⁵ non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and C₁₋₆

haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3 or R⁴ and R⁵ may join together to form a C₃₋₆ alkylene chain;

R⁶, R^{6a} and R⁷ are independently selected from: H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀ haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, and C₆₋₁₄ cycloalkenylalkyl; and

R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, and C₁₋₄ haloalkyl;
with the proviso that when R² is CO₂Et and R³ is Ph, R¹ is not H.

C1 3 3. (canceled previously)

3 4. (amended previously) The compound according to Claim 1 or 2 wherein

R¹ is selected from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, and -XR^{1c} wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl or C₁₋₄ haloalkyl;

R² is selected from C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₈ cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl, and -NR^{2c}R^{2d} wherein R² is unsubstituted or substituted with 1-3 substituents independently selected from the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-.

4 5. (amended previously) The compound according to Claim 1 or 2 wherein R³ is phenyl substituted with 0-5 substituents independently selected at each occurrence from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, methylenedioxy, C₁₋₄ alkyloxy-C₁₋₄ alkyloxy, -OR^{2m}, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, -NO₂, -SH, -S(O)_nR²ⁿ, -COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -

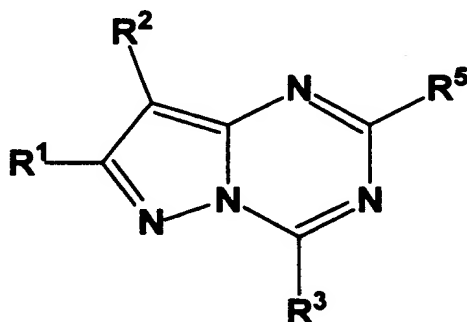
$N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $CONR^{2o}R^{2p}$; or pyridyl substituted at 0-4 carbon atoms with a substituent independently selected from C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, F, I, C_{1-4} haloalkyl, $-CN$, $NR^{2g}R^{2h}$, nitro, $-OR^{2m}$, $-SH$, $-S(O)_nR^{2n}$, COR^{2m} , $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, and $-NR^{2g}CONR^{2o}R^{2p}$.

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6. (amended previously) The compounds according to Claim 1 or 2 wherein R^3 is substituted with 0-4 substituents independently selected from halogen, C_{1-4} alkyloxy, C_{1-6} alkyl and $NR'R''$ wherein R' and R'' are independently selected from H and C_{1-6} alkyl.

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7. (currently amended) A compound of formula (Ia)



(Ia)

or a pharmaceutically acceptable salt thereof, wherein

R^1 is independently selected at each occurrence from H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, halo, CN, C_1 - C_4 haloalkyl, C_1 - C_{12} hydroxyalkyl, C_2 - C_{12} alkoxyalkyl, C_2 - C_{10} cyanoalkyl, C_3 - C_6 cycloalkyl, C_4 - C_{10} cycloalkylalkyl, NR^9R^{10} , C_1 - C_4 alkyl- NR^9R^{10} , NR^9COR^{10} , OR^{11} , SH or $S(O)_nR^{12}$;

R^2 is selected from:

$-H$, OR^7 , SH , $S(O)_nR^{13}$, COR^7 , CO_2R^7 , $CHR^6(OR^7)R^{6a}$, $OC(O)R^{13}$, $CH(OH)R^6$, $C(OH)R^6R^{6a}$, $C(OR^7)R^6R^{6a}$, NO , NO_2 , NR^6COR^7 , $N(COR^7)_2$, $NR^8CONR^6R^7$, $NR^6CO_2R^7$, NR^6R^7 , $NR^6S(O)_2R^7$, $N(S(O)_2R^7)_2$, $N(OR^7)R^6$, $CONR^6R^7$;

or

C

-C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₈ cycloalkyl, C₅-C₈ cycloalkenyl, C₄-C₁₂ cycloalkylalkyl or C₆-C₁₀ cycloalkenylalkyl, each optionally substituted with 1 to 3 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹³, COR¹⁵, CO₂R¹⁵, OC(O)R¹³, NR⁸COR¹⁵, N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹³, NR¹⁶R¹⁵, CONR¹⁶R¹⁵;

C¹
R³ is selected from phenyl, naphthyl, pyridyl, pyrimidinyl, triazinyl, furanyl, thienyl, benzothienyl, benzofuranyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, indanyl, 1,2-benzopyranyl, 3,4-dihydro-1,2-benzopyranyl, tetralinyl, each R³ optionally substituted with 1 to 5 substituents and each Ar is attached via an unsaturated carbon atom wherein the substituents are independently selected at each occurrence from: C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₂ cycloalkylalkyl, NO₂, halo, CN, C₁-C₄ haloalkyl, NR⁶R⁷, NR⁸COR⁷, NR⁸CO₂R⁷, COR⁷, OR⁷, CONR⁶R⁷, CO(NOR⁹)R⁷, CO₂R⁷, or S(O)_nR⁷, where each such C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₆ cycloalkyl and C₄-C₁₂ cycloalkylalkyl are optionally substituted with 1 to 3 substituents independently selected at each occurrence from C₁-C₄ alkyl, NO₂, halo, CN, NR⁶R⁷, NR⁶COR⁷, NR⁷CO₂R⁷, COR⁷ OR⁷, CONR⁶R⁷, CO₂R⁷, CO(NOR⁹)R⁷, or S(O)_nR⁷;

R⁵ is selected from H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₁₀ cycloalkylalkyl, each optionally substituted with 1 to 3 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl; halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹³, COR¹⁵, CO₂R¹⁵, OC(O)R¹³, NR⁸COR¹⁵, N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹³, NR¹⁶R¹⁵, CONR¹⁶R¹⁵, aryl, heteroaryl and heterocyclyl;
or
halo, CN, -NR⁶R⁷, NR⁹COR¹⁰, -NR⁶S(O)_nR⁷, S(O)_nNR⁶R⁷, C₁-C₄ haloalkyl, -OR⁷, SH or -S(O)_nR¹²;

R⁶, R^{6a} and R⁷ are independently selected at each occurrence from:
-H,

-C₁-C₁₀ alkyl, C₃-C₁₀ alkenyl, C₃-C₁₀ alkynyl, C₁-C₁₀ haloalkyl with 1-10 halogens, C₂-C₈ alkoxyalkyl, C₃-C₆ cycloalkyl, C₄-C₁₂ cycloalkylalkyl, C₅-C₁₀ cycloalkenyl, or C₆-C₁₄ cycloalkenylalkyl, each optionally substituted with 1 to 3 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹³, COR¹⁵, CO₂R¹⁵, OC(O)R¹³, NR⁸COR¹⁵, N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹³, NR¹⁶R¹⁵, CONR¹⁶R¹⁵, aryl, heteroaryl or heterocyclyl,
-aryl, aryl(C₁-C₄ alkyl), heteroaryl, heteroaryl(C₁-C₄ alkyl), heterocyclyl or heterocyclyl(C₁-C₄ alkyl);

C¹
alternatively, NR⁶R⁷ and NR^{6a}R^{7a} are independently piperidine, pyrrolidine, piperazine, N-methylpiperazine, morpholine or thiomorpholine, each optionally substituted with 1-3 C₁-C₄ alkyl groups;

R⁸ is independently selected at each occurrence from H or C₁-C₄ alkyl;

R⁹ and R¹⁰ are independently selected at each occurrence from H, C₁-C₄ alkyl, or C₃-C₆ cycloalkyl;

R¹¹ is selected from H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, or C₃-C₆ cycloalkyl;

R¹² is C₁-C₄ alkyl or C₁-C₄ haloalkyl;

R¹³ is selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₈ alkoxyalkyl, C₃-C₆ cycloalkyl, C₄-C₁₂ cycloalkylalkyl, aryl, aryl(C₁-C₄ alkyl)-, heteroaryl or heteroaryl(C₁-C₄ alkyl)-;

R¹⁵ and R¹⁶ are independently selected at each occurrence from H, C₁-C₆ alkyl, C₃-C₁₀ cycloalkyl, C₄-C₁₆ cycloalkylalkyl, except that for S(O)_nR¹⁵, R¹⁵ cannot be H;

aryl is phenyl or naphthyl, each optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹⁵, COR¹⁵, CO₂R¹⁵,

OC(O)R¹⁵, NR⁸COR¹⁵, N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹⁵,
NR¹⁶R¹⁵, and CONR¹⁶R¹⁵;

heteroaryl is pyridyl, pyrimidinyl, triazinyl, furanyl, pyranyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, isoxazolyl, pyrazolyl, 2,3-dihydrobenzothienyl or 2,3-dihydrobenzofuranyl, each being optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹⁵, -COR¹⁵, CO₂R¹⁵, OC(O)R¹⁵, NR⁸COR¹⁵, N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹⁵, NR¹⁶R¹⁵, and CONR¹⁶R¹⁵;

C¹
heterocyclyl is saturated or partially saturated heteroaryl, optionally substituted with 1 to 5 substituents independently selected at each occurrence from C₁-C₆ alkyl, C₃-C₆ cycloalkyl, halo, C₁-C₄ haloalkyl, cyano, OR¹⁵, SH, S(O)_nR¹⁵, COR¹⁵, CO₂R¹⁵, OC(O)R¹⁵, NR⁸COR¹⁵, N(COR¹⁵)₂, NR⁸CONR¹⁶R¹⁵, NR⁸CO₂R¹⁵, NR¹⁵R¹⁶, and CONR¹⁶R¹⁵;

n is independently at each occurrence 0, 1 or 2;

with the proviso that when R² is CO₂Et and R³ is Ph, R¹ is not H.

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8. (amended previously) The compound according to Claim 1 or 2 wherein R² is selected from 3-pentyl, NEt₂, butyl, NHCH(CH₂OMe)₂, NHCH(CH₂OEt)₂, NHCH(Et)CH₂OMe, NH-3-heptyl, NH-3-pentyl, NH-2-butyl, NH-3-hexyl, NHCH(CH₂Ph)CH₂OMe, NHCH(Et)CH₂CH₂OMe, NH-cyclobutyl, NH-cyclopentyl, NEtPr, NEtBu, NMePr, NMePh, Npr₂, NPr(CH₂-c-C₃H₅), N(CH₂CH₂OMe)₂, morpholino, N(CH₂Ph)CH₂CH₂OMe, N(Me)CH₂CH₂OMe, N(Et)CH₂CH₂OMe, N(CH₂-c-C₃H₅)CH₂CH₂OMe, N(CH₂-c-C₃H₅)Pr, N(CH₂-c-C₃H₅)Et, OEt, OCH(Et)CH₂OMe, OCH(Et)CH₂CH₂OMe, OCH(Me)CH₂CH₂OMe, O-3-pentyl, O-2-pentyl, S-3-pentyl, S-2-pentyl, SEt, S(O)Et, SO₂Et, S-3-pentyl, S(O)-3-pentyl, SO₂-3-pentyl, S-2-pentyl, S(O)-2-pentyl, SO₂-2-pentyl, CH(CO₂Et)₂, C(Et)(CO₂Et)₂, CH(Et)CH₂OH, CH(Et)CH₂OMe,

CH(Et)CH₂CH₂OMe, CONMe₂, COCH₃, COEt, COPr, CO-2-pentyl, CO-3-pentyl, CH(OH)CH₃, C(OH)Me₂, C(OH)Ph-3-pyridyl, CH(OMe)CH₃, CH(OMe)Et, CH(OMe)Pr, CH(OEt)CH₃, CH(OPr)CH₃, 2-pentyl, 2-butyl, cyclobutyl, cyclopentyl, CH(Me)cyclobutyl, CH(OMe)cyclobutyl, CH(OH)cyclobutyl, CH(Me)cyclopropyl, CH(OMe)cyclopropyl, CH(OH)cyclopropyl, CH(Et)cyclobutyl, CH(Et)cyclopropyl, CH(OMe)cyclobutyl, CH(OMe)cyclopropyl, CH(OEt)cyclobutyl, CH(OEt)cyclopropyl, CH(Me)CH₂-cyclobutyl, CH(OMe)CH₂-cyclobutyl, CH(OH)CH₂-cyclobutyl, CH(Me)CH₂-cyclopropyl, CH(OMe)CH₂-cyclopropyl, CH(OH)CH₂-cyclopropyl, CH(Et)CH₂-cyclobutyl, CH(Et)CH₂-cyclopropyl, CH(OMe)CH₂-cyclobutyl, CH(OMe)CH₂-cyclopropyl, CH(OEt)CH₂-cyclobutyl, CH(OEt)CH₂-cyclopropyl, CH(CH₂OMe)cyclobutyl, CH(CH₂OMe)cyclopropyl, CH(CH₂OEt)cyclobutyl, CH(CH₂OEt)cyclopropyl, CH(cyclobutyl)₂, CH(cyclopropyl)₂, CH(Et)CH₂CONMe₂, CH(Et)CH₂CH₂NMe₂, CH(CH₂OMe)Me, CH(CH₂OMe)Et, CH(CH₂OMe)Pr, CH(CH₂OEt)Me, CH(CH₂OEt)Et, CH(CH₂OEt)Pr, CH(CH₂C≡CMe)Et, and CH(CH₂C≡CMe)Et.

Claims 9 to 12. (canceled previously)

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13. (amended previously) A pharmaceutical composition comprising a compound according to Claim 1 or 2 and a pharmaceutically acceptable carrier.

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